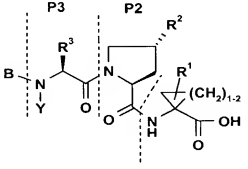
WHAT IS CLAIMED IS:

1. A racemate, diastereoisomer or optical isomer of a compound of formula (I):




(I)

wherein **B** is H, a $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; $C_{1-6}$ alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with $C_{1-6}$ alkyl; amido; or (lower alkyl)amide;

or **B** is an acyl derivative of formula $\mathbf{R_4}$-C(O)-; a carboxyl derivative formula $\mathbf{R_4}$-O-C(O)-; an amide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(O)-; a thioamide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(S)-;or a sulfonyl derivative of formula $\mathbf{R_4}$-$SO_2$ wherein

$\mathbf{R_4}$ is (i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido, or (lower alkyl) amide;

(ii) $C_{3-7}$ cycloalkyl, $C_{3-7}$ cycloalkoxy, or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido, or (lower alkyl) amide;

(iii) amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; amido; or (lower alkyl)amide;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

$\mathbf{R_5}$ is H or $C_{1-6}$ alkyl;

with the proviso that when **B** is a carboxyl derivative, an amide derivative or a thioamide derivative, $\mathbf{R_4}$ is not a cycloalkoxy;

**Y** is H or $C_{1-6}$ alkyl;

$\mathbf{R^3}$ is $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with hydroxy, $C_{1-6}$ alkoxy, $C_{1-6}$ thioalkyl, amido, (lower alkyl)amido, $C_6$ or $C_{10}$ aryl, or $C_{7-16}$ aralkyl;

$\mathbf{R^2}$ is $CH_2$-$\mathbf{R_{20}}$, NH-$\mathbf{R_{20}}$, O-$\mathbf{R_{20}}$ or S-$\mathbf{R_{20}}$, wherein $\mathbf{R_{20}}$ is a saturated or unsaturated $C_{3-7}$ cycloalkyl or $C_{4-10}$ (alkylcycloalkyl), all of which being optionally mono-, di- or tri-substituted with $\mathbf{R_{21}}$,

or $\mathbf{R_{20}}$ is a $C_6$ or $C_{10}$ aryl or $C_{7-14}$ aralkyl, all optionally mono-, di- or tri-substituted with $\mathbf{R_{21}}$,

or $\mathbf{R_{20}}$ is Het or (lower alkyl)-Het, both optionally mono-, di- or tri-substituted with $\mathbf{R_{21}}$,

wherein each $\mathbf{R_{21}}$ is independently $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; lower thioalkyl; sulfonyl; $NO_2$; OH; SH; halo; haloalkyl; amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, $C_6$ or $C_{10}$ aryl, $C_{7-14}$ aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with $C_{1-6}$ alkyl, $C_6$ or $C_{10}$ aryl, $C_{7-14}$ aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); $C_6$ or $C_{10}$ aryl, $C_{7-14}$ aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with $\mathbf{R_{22}}$;

wherein $\mathbf{R_{22}}$ is $C_{1-6}$ alkyl; $C_{3-7}$ cycloalkyl; $C_{1-6}$ alkoxy; amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; sulfonyl; (lower alkyl)sulfonyl; $NO_2$; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with $C_{1-6}$ alkyl;

$\mathbf{R^1}$ is H; $C_{1-6}$ alkyl, $C_{3-7}$ cycloalkyl, $C_{2-6}$ alkenyl, or $C_{2-6}$ alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein "Het" is defined as a five-, six-, or seven-membered saturated or unsaturated, aromatic or non-aromatic, heterocyclic containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

2. A compound of formula I according to claim 1, wherein

**B** is a $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with $C_{1-6}$ alkyl; or

**B** is Het or (lower alkyl)-Het, all optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with $C_{1-6}$ alkyl.

3. A compound of formula I according to claim 1, wherein **B** is $\mathbf{R_4}$-$SO_2$ wherein $\mathbf{R_4}$ is $C_{1-6}$ alkyl; amido; (lower alkyl)amido; $C_6$ or $C_{10}$ aryl, $C_{7-14}$ aralkyl or Het, all optionally substituted with $C_{1-6}$ alkyl.

4. A compound of formula I according to claim 1, wherein **B** is an acyl derivative of formula $\mathbf{R_4}$-C(O)- wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, hydroxy or $C_{1-6}$ alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl;

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl.

5. A compound of formula I according to claim 1, wherein **B** is a carboxyl derivative of formula $\mathbf{R_4}$-O-C(O)-, wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amide;

(ii) $C_{3-7}$ cycloalkyl, $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amino optionally mono- or di-substituted

with $C_{1-6}$ alkyl, amido or (lower alkyl)amide;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amido.

6. A compound of formula I according to claim 1, wherein **B** is an amide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(O)- wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iii) amino optionally mono- or di-substituted with $C_{1-3}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amide; and

$\mathbf{R_5}$ is H or methyl.

7. A compound of formula I according to claim 1, wherein **B** is a thioamide derivative of formula $\mathbf{R_4}$-NH-C(S)-; wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl or $C_{1-6}$ alkoxy;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amino or amido.

8. A compound of formula I according to claim 2, wherein **B** is a $C_6$ or $C_{10}$ aryl optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide,

or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl.

9. A compound of formula I according to claim 2, wherein **B** is Het optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl.

10. A compound of formula I according to claim 4, wherein **B** is an acyl derivative of formula $\mathbf{R_4}$-C(O)- wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, hydroxy or $C_{1-6}$ alkoxy; or

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, ($C_{1-6}$ alkoxy)carbonyl, or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, or (v) Het optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido or amino.

11. A compound of formula I according to claim 5, wherein **B** is a carboxyl derivative of formula $\mathbf{R_4}$-O-C(O)-, wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl, $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, or amino optionally mono-substituted with $C_{1-6}$ alkyl.

12. A compound of formula I according to claim 6, wherein **B** is an amide derivative of formula $\mathbf{R_4}$-N($\mathbf{R_5}$)-C(O)- wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iii) amino optionally mono- or di-substituted with $C_{1-3}$ alkyl, or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido optionally substituted with $C_{1-6}$ alkyl; or

(v) Het optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido,

and $R_5$ is H.

13. A compound of formula I according to claim 7, wherein **B** is a thioamide derivative of formula $R_4$-NH-C(S)-; wherein $R_4$ is (i) $C_{1-10}$ alkyl; or (ii) $C_{3-7}$ cycloalkyl.

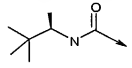
14. A compound of formula I according to claim 12, wherein **B** is an amide derivative of formula $R_4$-NH-C(O)- wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido.

15. A compound of formula I according to claim 1, wherein **B** is



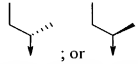
Boc or .

16. A compound of formula I according to claim 1, wherein **Y** is H or methyl.

17. A compound of formula I according to claim 16, wherein **Y** is H.

18. A compound of formula I according to claim 1, wherein $\mathbf{R^3}$ is $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with hydroxy, $C_{1-6}$ alkoxy, $C_{1-6}$ thioalkyl, acetamido, $C_6$ or $C_{10}$ aryl, or $C_{7-16}$ aralkyl,.

19. A compound of formula I according to claim 18, wherein $\mathbf{R^3}$ is the side chain of Tbg, Ile, Val, Chg or:



; or .

20. A compound of formula I according to claim 19, wherein $\mathbf{R^3}$ is the side chain of

Tbg, Chg or Val.

21. A compound of formula I according to claim 1, wherein $R^2$ is S-$R_{20}$ or O-$R_{20}$ wherein $R_{20}$ is a $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, Het or -$CH_2$-Het, all optionally mono-, di- or tri-substituted with $R_{21}$, wherein

$R_{21}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; lower thioalkyl; amino or amido optionally mono-or di-substituted with $C_{1-6}$ alkyl, $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, Het or (lower alkyl)-Het; $NO_2$; OH; halo; trifluoromethyl; carboxyl; $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with $R_{22}$, wherein

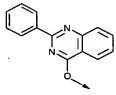
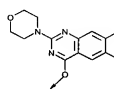
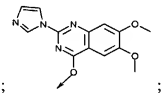
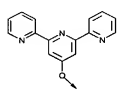
$R_{22}$ is $C_{1-6}$ alkyl; $C_{3-7}$ cycloalkyl; $C_{1-6}$ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; $NO_2$; OH; halo; trifluoromethyl; carboxyl or Het.

22. A compound of formula I according to claim 21, wherein $R_{21}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; $C_6$ or $C_{10}$ aryl, or Het, said aryl or Het being optionally substituted with $R_{22}$, wherein $R_{22}$ is $C_{1-6}$ alkyl; $C_{3-7}$ cycloalkyl; $C_{1-6}$ alkoxy; amino; mono- or di(lower alkyl)amino; amido; (lower alkyl)amide; halo; trifluoromethyl or Het.

23. A compound of formula I according to claim 22, wherein $R_{22}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; halo; amino optionally mono- or di-substituted with lower alkyl; amido; (lower alkyl)amide; or Het.

24. A compound of formula I according to claim 23, wherein $R_{22}$ is methyl; ethyl; isopropyl; tert-butyl; methoxy; chloro; amino optionally mono- or di-substituted with lower alkyl; amido, (lower alkyl)amide; or (lower alkyl) 2-thiazole.

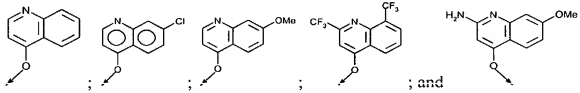
25. A compound of formula I according to claim 21, wherein $R^2$ is selected from the group consisting of:


; 
; 
; and 
.

26. A compound of formula I according to claim 21, wherein $R^2$ is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted , mono- or di-substituted with $R_{21}$ as defined in claim 21.

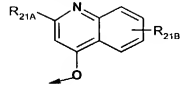
**27.** A compound of formula I according to claim 26, wherein $\mathbf{R^2}$ is 1-naphtylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with $\mathbf{R_{21}}$ as defined in claim 26.

**28.** A compound of formula I according to claim 27, wherein $\mathbf{R^2}$ is selected from the group consisting of:



; and .

**29.** A compound of formula I according to claim 26, wherein $\mathbf{R^2}$ is :



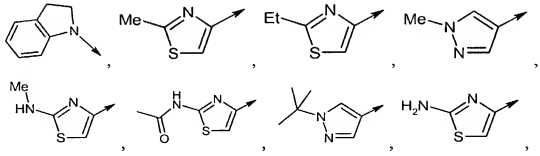
,

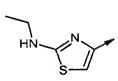
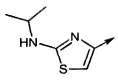
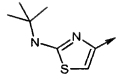
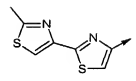
wherein $\mathbf{R_{21A}}$ is $C_{1\text{-}6}$ alkyl; $C_{1\text{-}6}$ alkoxy; lower thioalkyl; halo; amino optionally mono-substituted with $C_{1\text{-}6}$ alkyl; or $C_6$, $C_{10}$ aryl, $C_{7\text{-}16}$ aralkyl, or Het, said aryl, aralkyl or Het optionally substituted with $\mathbf{R_{22}}$ wherein $\mathbf{R_{22}}$ is $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1\text{-}6}$ alkyl, or Het; and

$\mathbf{R_{21B}}$ is $C_{1\text{-}6}$ alkyl, $C_{1\text{-}6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, $NO_2$, OH, halo, trifluoromethyl, or carboxyl.

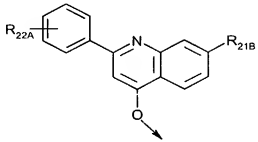
**30.** A compound of formula I according to claim 29, wherein $\mathbf{R_{21A}}$ is $C_6$, $C_{10}$ aryl or Het, all optionally substituted with $\mathbf{R_{22}}$ as defined in claim 29.

**31.** A compound of formula I according to claim 30, wherein $\mathbf{R_{21A}}$ is selected from the group consisting of:



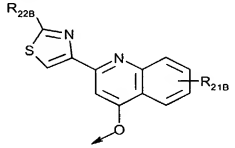



and


32. A compound of formula I according to claim 21, wherein $\mathbf{R^2}$ is:



wherein $\mathbf{R_{22A}}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; or halo; and $\mathbf{R_{21B}}$ is $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, $NO_2$, OH, halo, trifluoromethyl, or carboxyl.

33. A compound of formula I according to claim 29, wherein $\mathbf{R^2}$ is:

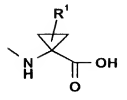


wherein $\mathbf{R_{22B}}$ is $C_{1-6}$ alkyl, amino optionally mono-substituted with $C_{1-6}$ alkyl, amido, or (lower alkyl)amide; ; and $\mathbf{R_{21B}}$ is $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, $NO_2$, OH, halo, trifluoromethyl, or carboxyl.

34. A compound of formula I according to claim 32 or 33, wherein $\mathbf{R_{21B}}$ is $C_{1-6}$ alkoxy, or di(lower alkyl)amino.

35. A compound of formula I according to claim 32 or 33, wherein $\mathbf{R_{21B}}$ is methoxy.

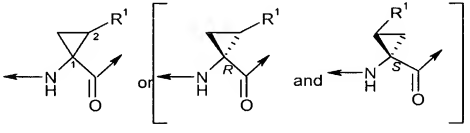
36. A compound of formula I according to claim 1, wherein $\mathbf{R^1}$ is H, $C_{1-3}$ alkyl, $C_{3-5}$ cycloalkyl, or $C_{2-4}$ alkenyl, all optionally substituted with halo.



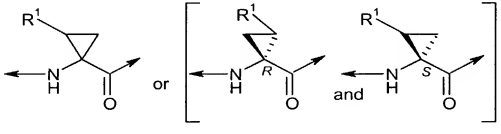
37. A compound of formula I according to claim 36, wherein **P1** is and $\mathbf{R^1}$ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

38. A compound of formula I according to claim 37, wherein $\mathbf{R^1}$ is vinyl.

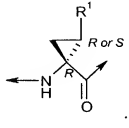
39. A compound of formula I according to claim 37, wherein $\mathbf{R^1}$ at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



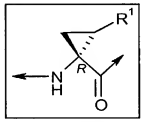
40. A compound of formula I according to claim 37, wherein $\mathbf{R^1}$ at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:



41. A compound of formula I according to claim 37, wherein carbon 1 has the *R* configuration:



42. An optical isomer of a compound of formula I according to claim 41, wherein said $\mathbf{R^1}$ substituent and the carbonyl in a *syn* orientation in the following absolute configuration:



43. A compound of formula I according to claim 42, wherein $\mathbf{R^1}$ is ethyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,R* configuration.

44. A compound of formula I according to claim 42, wherein $\mathbf{R^1}$ is vinyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,S* configuration.

45. A compound of formula I according to claim 1, wherein

**B** is a $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with $C_{1-6}$ alkyl; or

Hct or (lower alkyl)-Hct, all optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with $C_{1-6}$ alkyl,. or

**B** is $\mathbf{R_4}$-$SO_2$ wherein $\mathbf{R_4}$ is preferably amido; (lower alkyl)amide; $C_6$ or $C_{10}$ aryl, $C_{7-14}$ aralkyl or Hct, all optionally substituted with $C_{1-6}$ alkyl, or

**B** is an acyl derivative of formula $\mathbf{R_4}$-C(O)- wherein $\mathbf{R_4}$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, hydroxy or $C_{1-6}$ alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl;

(v) Het or (lower alkyl)-Hct, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl, or

**B** is a carboxyl derivative of formula $R_4$-O-C(O)-, wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amide;

(ii) $C_{3-7}$ cycloalkyl, $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amide;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amido, or

**B** is an amide derivative of formula $R_4$-N($R_5$)-C(O)- wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iii) amino optionally mono- or di-substituted with $C_{1-3}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl, amido or (lower alkyl)amide; and

$R_5$ is H or methyl, or

**B** is thioamide derivative of formula $R_4$-NH-C(S)-; wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl or $C_{1-6}$ alkoxy;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with

carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amino or amido;

**Y** is H or methyl;

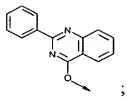
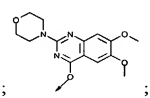
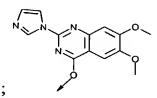
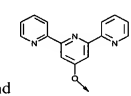
$\mathbf{R^3}$ is $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with hydroxy, $C_{1-6}$ alkoxy, $C_{1-6}$ thioalkyl, acetamido, $C_6$ or $C_{10}$ aryl, or $C_{7-16}$ aralkyl;

$\mathbf{R^2}$ is S-$\mathbf{R_{20}}$ or O-$\mathbf{R_{20}}$ wherein $\mathbf{R_{20}}$ is a $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, Het or -$CH_2$-Het, all optionally mono-, di- or tri-substituted with $\mathbf{R_{21}}$, wherein

$\mathbf{R_{21}}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; lower thioalkyl; amino or amido optionally mono-or di-substituted with $C_{1-6}$ alkyl, $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, Het or (lower alkyl)-Het; $NO_2$; OH; halo; trifluoromethyl; carboxyl; $C_6$ or $C_{10}$ aryl, $C_{7-16}$ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with $\mathbf{R_{22}}$, wherein

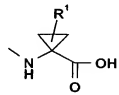
$\mathbf{R_{22}}$ is $C_{1-6}$ alkyl; $C_{3-7}$ cycloalkyl; $C_{1-6}$ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; $NO_2$; OH; halo; trifluoromethyl; carboxyl or Het; or

$\mathbf{R^2}$ is selected from the group consisting of:

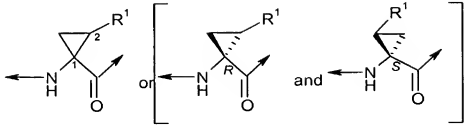

;

;

; and

;

or $\mathbf{R^2}$ is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted , mono- or di-substituted with $\mathbf{R_{21}}$ as defined above; and

**P1** is:




wherein $\mathbf{R^1}$ is H, $C_{1-3}$ alkyl, $C_{3-5}$ cycloalkyl, or $C_{2-4}$ alkenyl optionally substituted with halo, and said $\mathbf{R^1}$ at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



;

or a pharmaceutically acceptable salt or ester thereof.

**46.** A compound of formula I according to claim 45, wherein **B** is a $C_6$ or $C_{10}$ aryl optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or **B** is Het optionally substituted with $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or **B** is $R_4$-$SO_2$ wherein $R_4$ is $C_6$ or $C_{10}$ aryl, a $C_{7-14}$ aralkyl or Het all optionally substituted with $C_{1-6}$ alkyl; amido, (lower alkyl)amide; **B** is an acyl derivative of formula $R_4$-C(O)- wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, hydroxy or $C_{1-6}$ alkoxy; or

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, ($C_{1-6}$ alkoxy)carbonyl; or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy; or

(v) Het optionally substituted with $C_{1-6}$ alkyl, hydroxy, amido or amino;

or **B** is a carboxyl derivative of formula $R_4$-O-C(O)-, wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl, $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino optionally substituted with $C_{1-6}$ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with $C_{1-6}$ alkyl,

hydroxy, amido, or amino optionally mono-substituted with $C_{1-6}$ alkyl;

or **B** is an amide derivative of formula $R_4$-N($R_5$)-C(O)- wherein $R_4$ is

(i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl; and $R_5$ is H or methyl; or

$R_4$ is (iii) amino optionally mono- or di-substituted with $C_{1-3}$ alkyl; or

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl, all optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido optionally substituted with $C_{1-6}$ alkyl; or

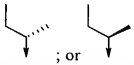
(v) Het optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido; or

**B** is a thioamide derivative of formula $R_4$-NH-C(S)-; wherein $R_4$ is:

(i) $C_{1-10}$ alkyl; or (ii) $C_{3-7}$ cycloalkyl; or

**Y** is H;

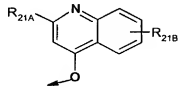
$R^3$ is the side chain of Tbg, Ile, Val, Chg or:



; or ;

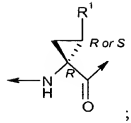
$R_2$ is 1-naphtylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with $R_{21}$ as defined above, or

$R_2$ is :




wherein $R_{21A}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; $C_6$, $C_{10}$ aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with $C_{1-6}$ alkyl; or $C_6$,$C_{10}$ aryl, $C_{7-16}$ aralkyl or Het, optionally substituted with $R_{22}$ wherein $R_{22}$ is $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl, or Het; and $R_{21B}$ is $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, $NO_2$, OH, halo, trifluoromethyl, or carboxyl;

P1 is:




; and

$R^1$ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

47. A compound of formula I according to claim 46, wherein

**B** is an amide derivative of formula $R_4$-NH-C(O)- wherein $R_4$ is

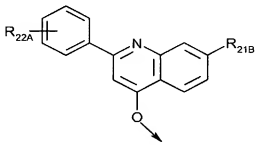
i) $C_{1-10}$ alkyl optionally substituted with carboxyl, $C_{1-6}$ alkanoyl, hydroxy, $C_{1-6}$ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

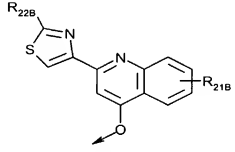
(ii) $C_{3-7}$ cycloalkyl or $C_{4-10}$ alkylcycloalkyl, all optionally substituted with carboxyl, ($C_{1-6}$ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $C_{1-6}$ alkyl;

(iv) $C_6$ or $C_{10}$ aryl or $C_{7-16}$ aralkyl optionally substituted with $C_{1-6}$ alkyl, hydroxy, amino or amido;

$R^3$ is the side chain of Tbg, Chg or Val;

$R^2$ is:

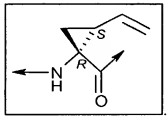


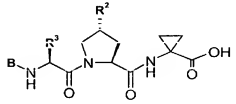

, or

wherein $R_{22A}$ is $C_{1-6}$ alkyl; $C_{1-6}$ alkoxy; or halo; $R_{22B}$ is $C_{1-6}$ alkyl, amino optionally mono-substituted with $C_{1-6}$ alkyl, amido, or (lower alkyl)amide; and $R_{21B}$ is $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, $NO_2$, OH, halo, trifluoromethyl, or carboxyl;

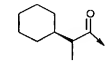
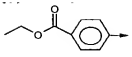
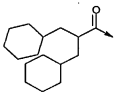
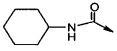
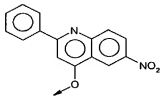
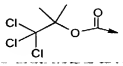
and **P1** is:

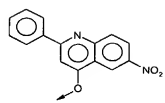
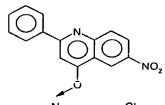
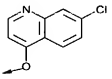
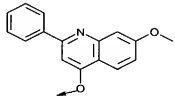


48. A compound according to claim 45 represented by the formula:



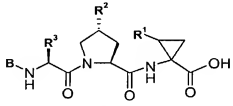
wherein **B**, $R_3$, $R_2$ are as defined below:

| Tab 1 Cpd# | B | $R^3$ | $R^2$ | |
|---|---|---|---|---|
| **101** | Boc | cHex | -O-$CH_2$-1-naphthyl | ; |
| **102** |  | cHex | -O-$CH_2$-1-naphthyl | ; |
| **103** |  | cHex | -O-$CH_2$-1-naphthyl | ; |
| **104** |  | cHex | -O-$CH_2$-1-naphthyl | ; |
| **105** |  | cHex | -O-$CH_2$-1-naphthyl | ; |
| **106** | Boc | cHex |  | ; |
| **107** |  | cHex | -O-$CH_2$-1-naphthyl | ; |

| Tab 1 Cpd# | B | $R^3$ | $R^2$ | |
|---|---|---|---|---|
| 108 | Boc | iPr |  | ; |
| 109 | acetyl | cHex |  | ; |
| 110 | Boc | *i-Pr* |  | ; |
| and 111 | Boc | *t*-Bu |  | . |

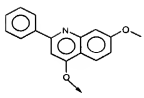
**49.** Compound **# 111** according to claim 48.

**50.** A compound according to claim 45 represented by the formula:



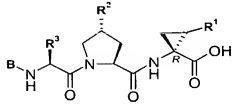
wherein **B**, $R^3$, $R^2$, $R^1$ are as defined below:

| Table 2 Cpd # | B | $R^3$ | $R^2$ | $R^1$ anti to carboxy | |
|---|---|---|---|---|---|
| 201 | Boc | cyclohexyl | -O-$CH_2$-1-naphthyl | ethyl (one isomer) | ; |
| 202 | Boc | cyclohexyl | -O-$CH_2$-1-naphthyl | ethyl (other isomer) | ; |

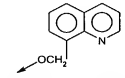
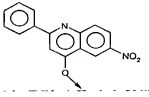
| Table 2 Cpd # | B | $R^3$ | $R^2$ | $R^1$ |
|---|---|---|---|---|
| and 203 | Boc | *t*-Bu |  | anti to carboxy vinyl 1*R*, 2*R* |

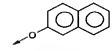
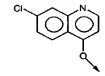
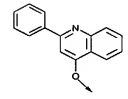
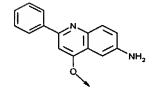
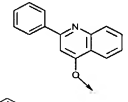
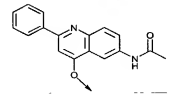
51. Compound **#203** according to claim 49.

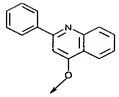
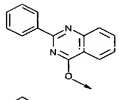
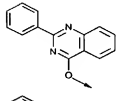
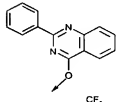
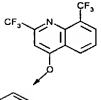
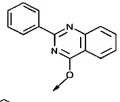
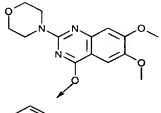
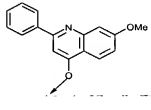
52. A compound according to claim 45 represented by the formula:

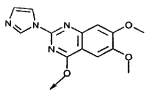
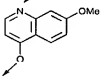
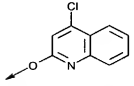
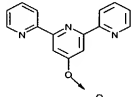
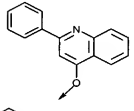
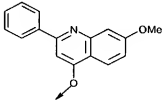
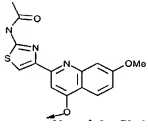


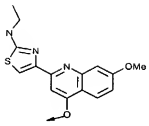
wherein **B**, $R^3$, $R^2$ and $R^1$ are as defined below:

| Table 3 Cpd # | B | $R^3$ | $R^2$ | $R^1$ *syn* to carboxyl | |
|---|---|---|---|---|---|
| **301** | Boc | cHex | -O-$CH_2$-1-naphthyl | ethyl | ; |
| **302** |  | iPr | -O-$CH_2$-1-naphthyl | ethyl | ; |
| **303** |  | cHex | -O-$CH_2$-1-naphthyl | ethyl | ; |
| **304** | Boc | cHex |  | ethyl | ; |
| **305** | Boc | cHex | -O-$CH_2$-1-naphthyl | vinyl | ; |
| **306** | Boc | cHex |  | vinyl | ; |
| **307** | Boc | cHex |  | vinyl | ; |

| Table 3 Cpd # | B | $R^3$ | $R^2$ | $R^1$ *syn* to carboxyl | |
|---|---|---|---|---|---|
| 308 | Boc | cHex |  | vinyl | ; |
| 309 | Boc | cHex |  | vinyl | ; |
| 310 | Boc | cHex |  | vinyl | ; |
| 311 | Boc | cHex |  | vinyl | ; |
| 312 | Boc | cHex |  | vinyl | ; |
| 313 | Boc | cHex |  | vinyl | ; |
| 314 | Boc | cHex |  | vinyl | ; |
| 315 | Boc | cHex |  | vinyl | ; |
| 316 | Acetyl | cHex |  | vinyl | ; |
| 317 | Boc | cHex |  | vinyl | ; |

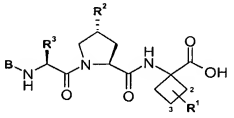
| Table 3 Cpd # | B | $R^3$ | $R^2$ | $R^1$ *syn* to carboxyl | |
|---|---|---|---|---|---|
| 318 | $CF_3$-C(O)- | *i-Pr* |  | vinyl | ; |
| 319 |  | cHex |  | vinyl | ; |
| 320 |  | cHex |  | vinyl | ; |
| 321 | Boc | *t*-Bu |  | vinyl | ; |
| 322 | Boc | *t*-Bu |  | vinyl | ; |
| 323 | Boc | *t*-Bu |  |  | ; |
| 324 | Boc | *t*-Bu |  | vinyl | ; |
| 325 | Boc | *t*-Bu |  |  | ; |

| Table 3 Cpd # | B | $R^3$ | $R^2$ | $R^1$ *syn* to carboxyl | |
|---|---|---|---|---|---|
| 326 | Boc | *t-Bu* |  | vinyl | ; |
| 327 |  | *t*-Bu |  | vinyl | ; |
| 328 | Boc | *t*-Bu |  | vinyl | ; |
| 329 | Boc | *t*-Bu |  | vinyl | ; |
| 330 | Boc | *t-Bu* |  | vinyl | ; |
| 331 |  | *t*-Bu |  | vinyl | ; |
| 332 | Boc | *t*-Bu |  | cthyl | ; |
| 333 |  | *t*-Bu |  | vinyl | ; |

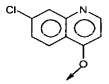
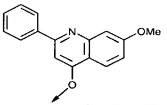
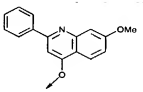
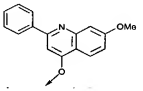
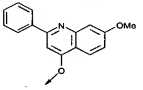
| Table 3 Cpd # | B | $R^3$ | $R^2$ | $R^1$ *syn* to carboxyl |
|---|---|---|---|---|
| and 334 |  | *t-Bu* |  | vinyl |

53. A compound according to claim 52, selected from the group consisting of compound #: **307,314, 317, 319, 321, 324, 325, 326, 327, 329, 331, 332, 333,** and **334.**

**54.** A compound according to claim 45 represented by the formula:

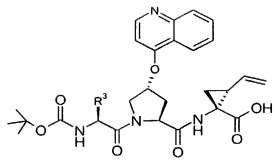


wherein **B**, $R^3$, $R^2$ and $R^1$ are as defined below:

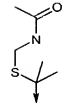
| Table 4 Cpd # | B | $R^3$ | $R^2$ | $R^1$ | |
|---|---|---|---|---|---|
| **401** | Boc | *i*-Pr |  | H | ; |
| **402** | Boc | *t*-Bu |  | H | ; |
| **403** | Boc | *t*-Bu |  | H | ; |
| **404** | Boc | *t*-Bu |  | 3-(=$CH_2$) | ; |
| **405** | Boc | *t*-Bu |  | 2-vinyl | ; |
| **and 406** | Boc | *t-Bu* |  | 2-Et | . |

**55.** A compound according to claim 54, selected from the group consisting of compound #: **403, 405,** and **406.**

**56.** A compound according to claim 45 represented by the formula:

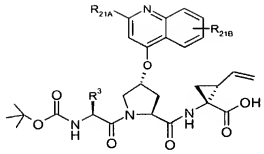


wherein $R^3$ is as defined below:

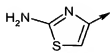
| Table 5 Cpd # | $R^3$ | | Table 5 Cpd # | $R^3$ | |
|---|---|---|---|---|---|
| **501** | *t-Bu* | ; | **507** |  | ; |
| **502** | H | ; | **508** |  | ; |
| **503** |  | ; | **509** |  | ; |
| **504** |  | ; | **510** |  | ; |
| **505** |  | ; | **and 511** |  | . |
| **506** |  | ; | | | |

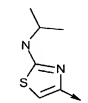
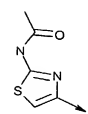
**57.** A compound according to claim 56, selected from the group consisting of compound #: **501, 509,** and **510.**

**58.** A compound according to claim 46 represented by the formula:



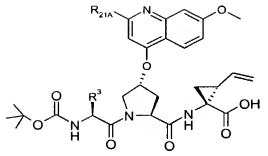
wherein $R^3$, $R_{21A}$ and $R_{21B}$ are as defined below:

| Table 6 Cpd # | $R^3$ | $R_{21A}$ | $R_{21B}$ | |
|---|---|---|---|---|
| **601** | *i*-Pr | Ph | 7-OMe | ; |
| **602** | *t-Bu* | Ph | 8-OMe, 7-OMe | ; |
| **603** | *i-Pr* | Ph | 7-ethyl | ; |
| **604** | *t-Bu* | -- | 7-OMe | ; |
| **605** | *t-Bu* | Ph | 7-O-*i*Pr | ; |
| **606** | *t*-Bu | -- | 7-Cl | ; |
| **607** | *i*Pr | -- | 7-Cl | ; |
| **608** | $CH_2$-*i*Pr | -- | 7-Cl | ; |
| **609** | *t*-Bu |  | -- | ; |
| **610** | *t*-Bu | Cl | -- | ; |
| **611** | *t*-Bu | Ph | 7-$N(Me)_2$ | ; |
| **612** | *t*-Bu |  | -- | ; |
| **613** | *t*-Bu |  | -- | ; |
| **614** | *t*-Bu |  | -- | ; |
| **615** | *t*-Bu | -- | 7-$N(Me)_2$ | ; |
| **616** | *t*-Bu |  | -- | ; |

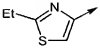
| Table 6 Cpd # | $R^3$ | $R_{21A}$ | $R_{21B}$ | |
|---|---|---|---|---|
| **617** | *t*-Bu |  | -- | ; |
| **618** | *t*-Bu |  | -- | ; |
| **619** | *t*-Bu |  | -- | ; |
| **620** | *t*-Bu |  | -- | ; |
| **621** | *t*-Bu |  | -- | ; |
| **622** | *t*-Bu |  | -- | ; |
| **623** | *t*-Bu | McO- | -- | ; |
| **624** | *t*-Bu | $(Me)_2N$- | -- | ; |
| **625** | *t*-Bu | Ph | 7-S(Me) | ; |
| **626** | *t*-Bu | Ph | 7-Br | ; |
| **627** | *t*-Bu | Ph | 7-F | ; |
| **628** | *t*-Bu |  | 7-$N(Me)_2$ | ; |
| **629** | *t-Bu* |  | 7-$N(Me)_2$ | ; |
| **and 630** | *t-Bu* |  | 7-$N(Et)_2$ | . |

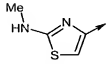
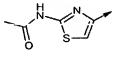
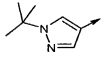
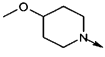
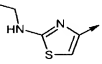
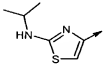
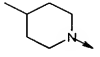
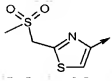
**59.** A compound according to claim 58, selected from the group consisting of compound #: **601, 602, 603, 604, 605, 606, 607, 610, 611, 612, 615, 616, 617, 620, 621, 622, 625, 626, 627, 628, 629,** and **630.**

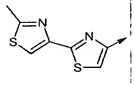
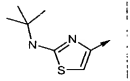
**60.** A compound according to claim 46 represented by the formula:



wherein $R^3$ and $R_{21A}$ are as defined below:

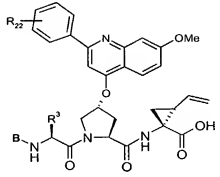
| Table 7 Cpd # | $R^3$ | $R_{21A}$ | |
|---|---|---|---|
| 701 | *t*-Bu |  | ; |
| 702 | *t*-Bu |  | ; |
| 703 | *t*-Bu |  | ; |
| 704 | *t*-Bu |  | ; |
| 705 | *t*-Bu |  | ; |
| 706 | *t*-Bu |  | ; |
| 707 | *t*-Bu |  | ; |
| 708 | *t*-Bu | Ph-N(Me)- | ; |
| 709 | *t*-Bu |  | ; |
| 710 | *t*-Bu | HOOC- | ; |
| 711 | *t*-Bu |  | ; |
| 712 | *t*-Bu | $(Me)_2N$- | ; |
| 713 | *t*-Bu |  | ; |
| 714 | *t*-Bu |  | ; |
| 715 | *t*-Bu |  | ; |

| Table 7 Cpd # | $R^3$ | $R_{21A}$ | |
|---|---|---|---|
| 716 | *t*-Bu |  | ; |
| 717 | *t*-Bu |  | ; |
| 718 | *t*-Bu | $NH_2$ | ; |
| 719 | *t*-Bu |  | ; |
| 720 | *t*-Bu |  | ; |
| 721 | *t*-Bu |  | ; |
| 722 | *t*-Bu |  | ; |
| 723 | *t*-Bu |  | ; |
| 724 | *t*-Bu |  | ; |
| 725 | *t-Bu* |  | ; |
| 726 | *t*-Bu | *i*-Pr | ; |
| 727 | *t*-Bu |  | ; |
| 728 | *t*-Bu |  | ; |
| 729 | *t*-Bu |  | ; |

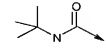
| Table 7 Cpd # | $R^3$ | $R_{21A}$ | |
|---|---|---|---|
| 730 | *t*-Bu |  | ; |
| 731 | *t*-Bu |  | ; |
| 732 | *t*-Bu |  | ; |
| 733 | *t*-Bu |  | ; |
| 734 | *t-Bu* |  | ; |
| 735 | *t*-Bu |  | ; |
| 736 | *t*-Bu | *t*-Bu | *;* |
| **and** 737 | *t*-Bu | CHex | . |

**61.** A compound according to claim 60, selected from the group consisting of compound #: **701, 702, 703, 704, 705, 706, 707, 708, 709, and 711 to 737.**

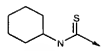
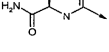
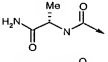
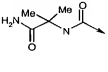
**62.** A compound according to claim 45 represented by the formula:

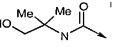
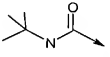
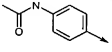


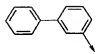
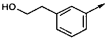
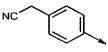
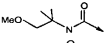
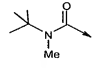
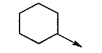
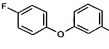
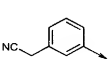
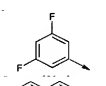
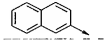
wherein **B**, $R^3$, and $R_{22}$ are as defined below:

| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 801 |  | *t*-Bu | -- | ; |

| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 802 |  | *t*-Bu | -- | ; |
| 803 |  | *t*-Bu | -- | ; |
| 804 |  | *t*-Bu | -- | ; |
| 805 | Ac | *t*-Bu | -- | ; |
| 806 |  | *t*-Bu | -- | ; |
| 807 |  | *t*-Bu | -- | ; |
| 808 |  | *t*-Bu | -- | ; |
| 809 |  | *i*-Pr | -- | ; |
| 810 |  | *t*-Bu | -- | ; |
| 811 | Boc | *t*-Bu | 4-Cl | ; |
| 812 |  | *t*-Bu | -- | ; |
| 813 |  | *t*-Bu | -- | ; |
| 814 | Boc | *t*-Bu | 2-Cl | ; |
| 815 | Boc | *t*-Bu | 3-Cl | ; |
| 816 |  | *t*-Bu | -- | ; |
| 817 |  | *t*-Bu | -- | ; |

| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 818 |  | *t*-Bu | -- | ; |
| 819 |  | *i*-Pr | -- | ; |
| 820 |  | *i*-Pr | -- | ; |
| 821 |  | *i*-Pr | -- | ; |
| 822 |  | *i*-Pr | -- | ; |
| 823 | Boc | *t*-Bu | 2-OMe | ; |
| 824 | Boc | *t*-Bu | 3-OMe | ; |
| 825 | Boc | *t*-Bu | 4-OMe | ; |
| 826 |  | *i*-Pr | -- | ; |
| 827 |  | *t*-Bu | -- | ; |
| 828 |  | *i*-Pr | -- | ; |
| 829 |  | *t*-Bu | -- | ; |
| 830 |  | *t*-Bu | -- | ; |
| 831 |  | *t*-Bu | -- | ; |
| 832 |  | *t*-Bu | -- | ; |
| 833 |  | *t*-Bu | -- | ; |

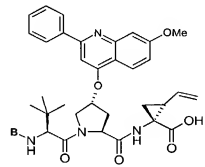
| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 834 |  | *i*-Pr | -- | ; |
| 835 |  | *t*-Bu | -- | ; |
| 836 |  | *i*-Pr | -- | ; |
| 837 |  | *i*-Pr | -- | ; |
| 838 |  | *i*-Pr | -- | ; |
| 839 |  | *i*-Pr | -- | ; |
| 840 |  | *i*-Pr | -- | ; |
| 841 | Boc | *t*-Bu | 2-Me | ; |
| 842 | Boc | *t*-Bu | 3-Me | ; |
| 843 | Boc | *t*-Bu | 4-Me | ; |
| 844 |  | *t*-Bu | 4-OMe | ; |
| 845 |  | *i*-Pr | -- | ; |
| 846 |  | *i*-Pr | -- | ; |
| 847 | Boc | cHex | -- | ; |
| 848 | Boc |  | -- | ; |
| 849 | Boc |  | -- | ; |

| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 850 | Boc |  | -- | ; |
| 851 | Boc |  | -- | ; |
| 852 | Boc |  | -- | ; |
| 853 | Boc |  | -- | ; |
| 854 |  | *i*-Pr | -- | ; |
| 855 |  | *i*-Pr | -- | ; |
| 856 |  | *i*-Pr | -- | ; |
| 857 |  | *t*-Bu | -- | ; |
| 858 |  | *t*-Bu | -- | ; |
| 859 |  | *i*-Pr | -- | ; |
| 860 |  | *i*-Pr | -- | ; |
| 861 |  | *i*-Pr | -- | ; |
| 862 |  | *i*-Pr | -- | ; |
| 863 |  | *i*-Pr | -- | ; |

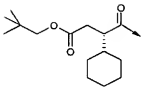
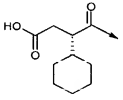
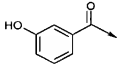
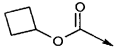
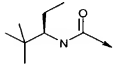
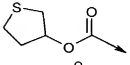
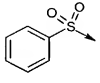
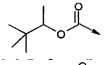
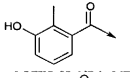
| Table 8 Cpd # | B | $R^3$ | $R_{22}$ | |
|---|---|---|---|---|
| 864 |  | *i*-Pr | -- | ; |
| 865 |  | *t*-Bu | -- | ; |
| 866 |  | *t*-Bu | -- | ; |
| 867 |  | *t*-Bu | -- | ; |
| 868 |  | *t*-Bu | -- | ; |
| 869 |  | *t*-Bu | -- | ; |
| 870 |  | *t*-Bu | -- | ; |
| 871 |  | *t*-Bu | -- | ; |
| 872 |  | *t*-Bu | -- | ; |
| and 873 |  | *t*-Bu | -- | . |

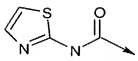
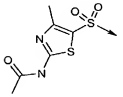
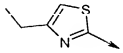
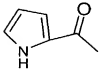
63. A compound according to claim 62, selected from the group consisting of compound #: **801 to 825, 827 to 858,** and **860 to 873.**

64. A compound according to claim 45 represented by the formula:

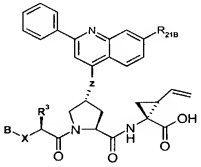


wherein **B** is as defined below:

| Table 9 Cpd # | B | |
|---|---|---|
| 901 | Boc | ; |
| 902 |  | ; |
| 903 |  | ; |
| 904 |  | ; |
| 905 |  | ; |
| 906 |  | ; |
| 907 |  | ; |
| 908 |  | ; |
| 909 |  | ; |
| 910 |  | ; |
| 911 |  | ; |
| 912 |  | ; |

| Table 9 Cpd # | B | |
|---|---|---|
| 913 |  | ; |
| 914 |  | ; |
| 915 |  | ; |
| and 916 |  | . |

65. A compound according to claim 45 represented by the formula:



wherein **B**, **X**, $R^3$, **z** and $R_{21B}$ are as defined below:

| Table 10 Cpd # | B-X- | $R^3$ | Z | $R_{21B}$ |
|---|---|---|---|---|
| 1001 | Ph-N(Me)- | *i*-Pr | O | H; |
| 1002 | Boc-NH- | *t*-Bu | S | OMe; |
| and 1003 |  | *i*-Pr | O | ---. |

66. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, in admixture with a pharmaceutically acceptable

carrier medium or auxiliary agent.

**67.** A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.

**68.** A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the composition according to claim 66.

**69.** A method of inhibiting the replication of hepatitis C virus comprising exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.

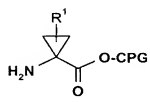
**70.** A method of treating a hepatitis C viral infection in a mammal comprising administering thereto an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof with another anti-HCV agent.

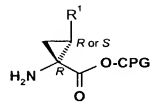
**71.** A method according to claim 70, wherein said other anti-HCV agent is selected from the group consisting of: α- or β-interferon, ribavirin and amantadine.

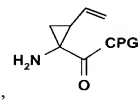
72. A method according to claim 70, wherein said other anti-HCV agent comprises an inhibitor of other targets in the HCV life cycle, selected from: helicase, polymerase, metalloprotease or IRES.

73. A process for the preparation of a peptide analog of formula (I) according to claim 1 wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:

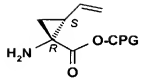
coupling a peptide selected from the group consisting of: APG-P3-P2; or APG-P2; with a P1 intermediate of formula:



,


,


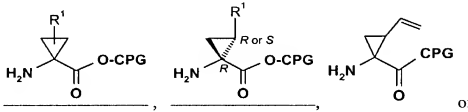
or

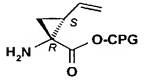


wherein $\mathbf{R^1}$ is $C_{1-6}$ alkyl, cycloalkyl or $C_{2-6}$ alkenyl, all optionally substituted with halogen, CPG is a carboxyl protecting group and APG is an amino protecting group and P3 and P2 are as defined above.

74. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:

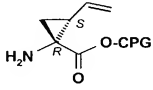




wherein $\mathbf{R^1}$ is $C_{1-6}$ alkyl, cycloalkyl or $C_{2-6}$ alkenyl, all optionally substituted with halogen, and CPG is a carboxyl protecting group.

75. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:



wherein CPG is a carboxyl protecting group.

76. A process according to claim 73, 74 or 75 wherein said carboxyl protecting group (CPG) is selected from the group consisting of:
alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.

77. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

78. Method of preparing a composition for inhibiting the replication of hepatitis C virus comprising combining a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

79. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, and an interferon with a pharmaceutically acceptable carrier medium or auxiliary agent.